

Data Sheet

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Product Name : Pexmetinib
Cat. No. : PC-35149
CAS No. : 945614-12-0
Molecular Formula : C₃₁H₃₃FN₆O₃
Molecular Weight : 556.63

Target : Angiopoietin Receptor
Solubility : 10 mM in DMSO

Biological Activity

Pexmetinib (ARRY-614) is a potent, orally active, dual **Tie-2/p38 MAPK** inhibitor with IC50 of 1, 26 and 35 nM for Tie-2, $p38\alpha$ and $p38\beta$, respectively.

Pexmetinib (ARRY-614) demonstrates in vitro mechanistic models (Angpt-1 or anisomycin-stimulated HUVEC) as well as functional readouts (LPS-induced TNF-alpha release from human PBMCs) with IC50 of 2282 nM and 172 nM for Tie2 and p38, respectively.

Pexmetinib (ARRY-614) abrogates cytokine mediated myelosuppresive effects in hematopoietic cells. Pexmetinib (ARRY-614) inhibits leukemic cell proliferation and stimulates hematopoietic activity in MDS. Pexmetinib (ARRY-614) inhibits LPS-induced TNF-alpha release) murine models.

References

Bachegowda L, et al. *Cancer Res*. 2016 Aug 15;76(16):4841-4849. Garcia-Manero G, et al. *Clin Cancer Res*. 2015 Mar 1;21(5):985-94. Wollenberg LA, et al. *Clin Pharmacol*. 2015 Sep 30;7:87-95.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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